

10/960, 634

UPDATE
SEARCH
9/22/04

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resulting in a closer connection to BABS
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with the 228th ACS National Meeting
NEWS 5 AUG 02 IFIPAT/IFIUDB/IFICDB reloaded with new search and display
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NEWS 7 AUG 02 The Analysis Edition of STN Express with Discover!
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NEWS 8 AUG 04 Pricing for the Save Answers! will change September 1, 2004
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NEWS 11 SEP 01 INPADOC: New family current-awareness alert (SDI) available
NEWS 12 SEP 01 New pricing for the Save Answers! for SciFinder Wizard within
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NEWS 13 SEP 01 New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
NEWS 14 SEP 14 STN Patent Forum to be held October 13, 2004, in Iselein, NU
NEWS EXPRESS JULY 30 CURRENT WINDOWS VERSION IS V7.01. CURRENT
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AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
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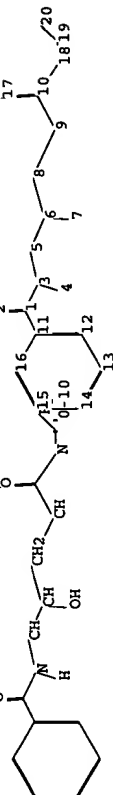
STRUCTURE FILE UPDATES: 20 SEP 2004 HIGHEST RN 748739-98-2
DICTIONARY FILE UPDATES: 20 SEP 2004 HIGHEST RN 748739-98-2
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
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to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

Uploading C:\Program Files\Stnexp\Queries\HONGS DOG 09960634.str



chain nodes :
1 2 3 4 5 6 7 8 9 10 17 18 19 20
ring nodes :
11 12 13 14 15 16
chain bonds :
1-2 1-3 1-11 3-4 3-5 5-6 6-7 6-8 8-9 9-10 10-17 10-18 18-19 19-20
ring bonds :
11-12 11-16 12-13 13-14 14-15 15-16
exact/norm bonds :
1-2 1-3 3-5 6-7 10-17 10-18 18-19 19-20
exact bonds :
1-11 3-4 5-6 6-8 8-9 9-10
normalized bonds :
11-12 11-16 12-13 13-14 14-15 15-16

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS
19:CLASS 20:Atom

L1 STRUCTURE UPLOADED

=> D L1
L1 HAS NO ANSWERS
L1 STR

FILE LAST UPDATED: 21 Sep 2004 (20040921/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> S L3
L4 4 L3

=> D 1-4 IBIB ABS HITSTR

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:43054 CAPLUS
DOCUMENT NUMBER: 138:107007
TITLE: Preparation of 5-amino-4-hydroxypentanoic acid derivatives for treating Alzheimer's disease
INVENTOR(S): Hom, Roy; Mamo, Shumey; Tung, Jay; Gallunas, Andrea; John, Varghese; Fang, Lawrence
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 113 pp., Cont.-in-part of U. S. Ser. No. 815,960.
CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
US 2003013881 A1 20030116 US 2001-960634 20010921
US 2002019403 A1 20020214 US 2001-816876 20010323
US 2002022623 A1 20020221 US 2001-815960 20010323
US 6737420 B2 20040518
PRIORITY APPLN. INFO.: US 2000-191528P P 20000323
US 2001-815960 A2 20010323
US 2001-816876 A2 20010323

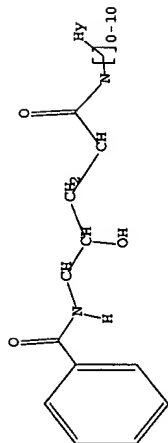
OTHER SOURCE(S): WARPAT 138:107007
AB The invention is directed toward substituted hydroxyethylene compds. having the fragment -NHC(R1)(OH)CH2CH2CO- (R1 = alkyl, alkythioalkyl, alkenyl, (hetero)aryl, (hetero)aryalkyl, heterocyclylalkyl, or heterocyclyl; R2 = H, alkyl, cycloalkylalkyl, or (hetero)aryl) for use in treating Alzheimer's disease and similar diseases. In an example, N-[(1S,2S,4R)-1-(3,5-difluorobenzyl)-4-(syn, syn)-(3,5-dimethoxycyclohexyl)carbamoyl]-2-hydroxyethyl-N,N-dipropylisophthalamide was prepared by solution-based methodol.

IT 362480-29-3P 362480-32-8P 362480-38-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

RN 362480-29-3 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[(2-(4-morpholinyl)ethyl)amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 09:00:02 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 9335 TO ITERATE

0 ANSWERS

10.7% PROCESSED 1000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETS**
PROJECTED ITERATIONS: BATCH **COMPLETS**
PROJECTED ANSWERS: 180911 TO 192489
0 TO 0

L2 0 SEA SSS SAM L1

=> S L1 SSS FULL
FULL SEARCH INITIATED 09:00:07 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 184803 TO ITERATE

7 ANSWERS

99.0% PROCESSED 182938 ITERATIONS
100.0% PROCESSED 184803 ITERATIONS
SEARCH TIME: 00.00.21

L3 7 SEA SSS FUL L1

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TOTAL SESSION 156.05

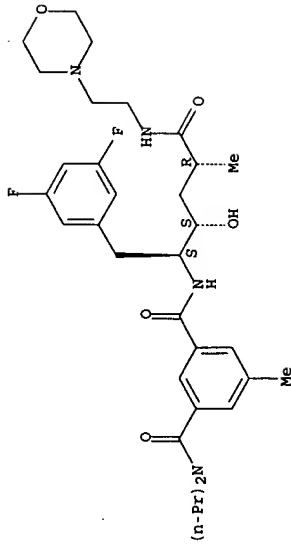
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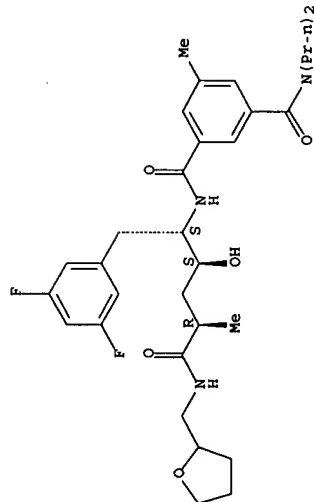
FILE COVERS 1907 - 22 Sep 2004 VOL 141 ISS 13

APPLICANTS



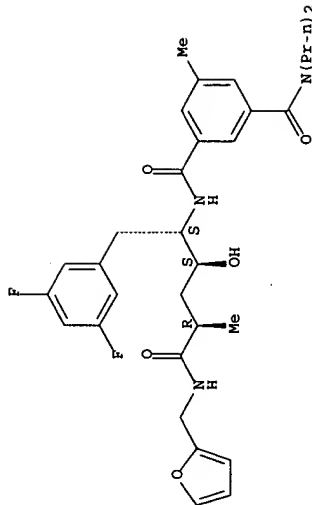
RN 362480-32-8 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(2-furanyl)methyl]methyl]aminopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362480-38-4 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[(2-furanyl)methyl]amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:713293 CAPLUS
DOCUMENT NUMBER: 135:273220
TITLE: Preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease

INVENTOR(S): Hom, Roy; Mamo, Shumey, Tung, Jay; Gallunas, Andrea;
PATENT ASSIGNEE(S): John, Varghese; Fang, Larry
SOURCE: Elan Pharmaceuticals, Inc., USA
PCT Int. Appl., 240 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

APPLICANTS

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070672	A2	20010927	WO 2001-US9501	20010323
WO 2001070672	A3	20020321		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1265849	A2	20021218	EP 2001-926424	20010323
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003528071	T2	20030924	JP 2001-568884	20010323
PRIORITY APPLN. INFO.: US 2000-191528P P 20000323				
WO 2001-US9501 W 20010323				

OTHER SOURCE(S): MARPAT 135:273220
AB Hydroxyethylenes, such as RNCHRICH(OH)CH2CH2COBR3 [R = peptidyl group, acyl, etc.; R1 = alkyl, alkenyl, arylalkyl, etc.; R2 = H, alkyl, cycloalkyl, arylalkyl, etc.; BR3 = peptidyl group; B = O, NR4; R3 = alkyl, arylalkyl, etc.; R4 = H, alkyl, etc.], were prepared as agents for the treatment of Alzheimer's disease. Thus, BOC-L-Val-L-Met-NH-(S,S)-CH(CH2CHMe2)CH(OH)CH(CHMe2)CO-L-Ala-L-Glu-L-Phe-OH via a series of amide coupling reactions of the corresponding amino acids with the

hydroxyethylene moiety. The prepared hydroxyethylenes were tested for p-secretase inhibiting activity.

IT 362480-29-3p 362480-32-8p 362480-38-4p

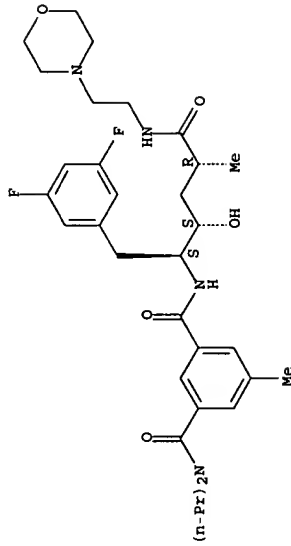
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)

RN 362480-29-3 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[(2-(4-morpholinyl)ethyl)amino]-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

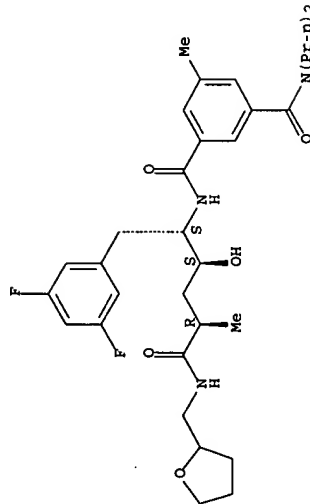
Absolute stereochemistry.



RN 362480-32-8 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[(tetrahydro-2-furanyl)methyl]amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

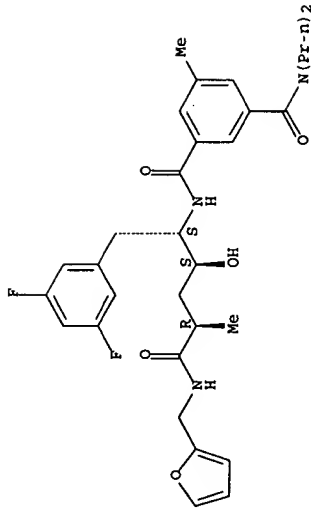
Absolute stereochemistry.



RN 362480-38-4 CAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[(2-furanylmethyl)amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:207292 CAPLUS

DOCUMENT NUMBER: 128:270871

TITLE: Preparation of acyl dipeptide analogs as retroviral

protease inhibitors

INVENTOR(S): Carr, Thomas Joseph; Demarsh, Peter Lawrence; Dreyer,

Geoffrey Bainbridge; Fenwick, Ashley Edward

Smithline Beecham Corporation, USA

U.S., 42 pp., Cont. of U.S. Ser. No. 193,026,

abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

US 5733882

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): GI

4

CATED

IN

T.D.S.

FILED

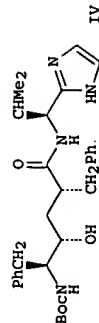
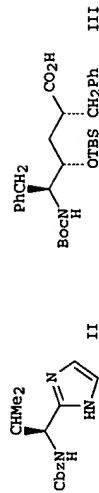
25 JAN 2002

KIND DATE APPLICATION NO. DATE

A 19980331 US 1995-396356 19950228

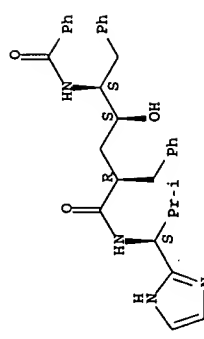
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MAEPAT 128:270871

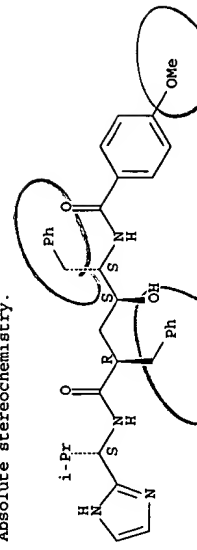


AB The present invention provides compounds, more particularly dipeptide analogs I [R1, R3 = independently (un)substituted O, Q-C1-6 alkyl, Q-C2-6 alkyl, Q-C2-6 alkyl, Q-C1-6 alkyl, Q-C1-6 alkyl substituted by 1-5 F atoms; Q, H, C3-6 cycloalkyl, C5-6 cycloalkenyl, aryl, heterocyclyl; R2 = H, OH; R4 = R6NR11, COMRLICHR6R7; R5 = R6NR11, R10NR11; X = NR11, O, S; R7 = Q, Q-C1-6 alkyl, Q-C2-6 alkyl; R8, R9 = independently H, OH, halo, NO2, acyl, CF3, aryl, etc.; R8R9 = fused C2-4 alkylene, aryl, heterocyclyl; R10 = A-(B)n; R11 = H, C1-4 alkyl; B = amino acid; A = H, (un)substituted aryl, heterocyclyl, aryl-W, heterocyclyl-W, phthaloyl, etc.; W = CO, O2C, NR11CO, SCO, NR11CS, SO2, NR11SO2, P(O)(OR22); R22 = H, C1-6 alkyl, Ph, phenyl-C1-4 alkyl; with proviso], or a pharmaceutically acceptable salt thereof, which bind to retroviral proteases. These compounds are inhibitors of retroviral proteases and are useful for treating diseases related to infection by retroviruses. Thus, cyclocondensation of protected valinal 2-Val-H (Z = PhCH2O2C) with ammonia and glyoxal gave imidazole II. Deprotection of II, followed by coupling with dipeptide isostere III, and final desilylation gave desired title compound IV as its HCl salt. The prepared compounds, including IV, showed inhibition of HIV-1 protease with Ki = 1 nM to 5 μ M, and inhibited infection of cells with the HIV virus with IC50 = 0.1 to 10 μ M.

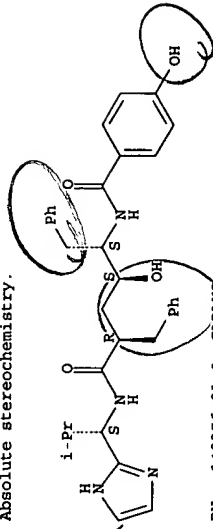
IT 149356-76-3P 149356-77-4P 149356-79-6P
149356-81-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acyl dipeptide analogs as retroviral protease inhibitors)
RN 149356-76-3 CAPLUS
CN Benzenhexanamide, δ -(benzoylamino)- γ -hydroxy-N-[1-(1H-imidazol-2-yl)-2-methylpropyl]- α -(phenylmethyl)-, [ar-[N(S*), ar*, 7S*, 8S*]]- (9CI) (CA INDEX NAME)
Absolute stereochemistry.



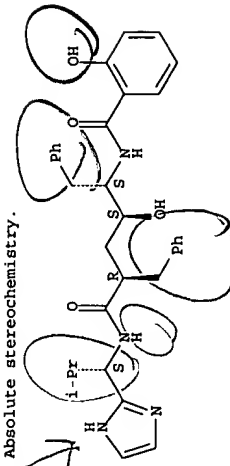
RN 149356-77-4 CAPLUS
CN Benzenhexanamide, γ -hydroxy-N-[1-(1H-imidazol-2-yl)-2-methylpropyl]- α -(1-(4-methoxybenzoyl)amino)- α -(phenylmethyl)-, [ar-[N(S*), ar*, 7S*, 8S*]]- (9CI) (CA INDEX NAME)
Absolute stereochemistry.



RN 149356-79-6 CAPLUS
CN Benzenhexanamide, γ -hydroxy- δ -(4-hydroxybenzoylamino)-N-[1-(1H-imidazol-2-yl)-2-methylpropyl]- α -(phenylmethyl)-, [ar-[N(S*), ar*, 7S*, 8S*]]- (9CI) (CA INDEX NAME)
Absolute stereochemistry.



RN 149356-81-0 CAPLUS
CN Benzenhexanamide, γ -hydroxy- δ -(2-hydroxybenzoylamino)-N-[1-(1H-imidazol-2-yl)-2-methylpropyl]- α -(phenylmethyl)-, [ar-[N(S*), ar*, 7S*, 8S*]]- (9CI) (CA INDEX NAME)
Absolute stereochemistry.



RN 149356-76-3 CAPLUS
CN Benzenhexanamide, δ -(benzoylamino)- γ -hydroxy-N-[1-(1H-imidazol-2-yl)-2-methylpropyl]- α -(phenylmethyl)-, [ar-[N(S*), ar*, 7S*, 8S*]]- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

NOT IN RC IN DEF IN RET IN

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1993:517245 CAPLUS
 DOCUMENT NUMBER: 119:117245
 TITLE: Preparation of N-imidazolylalkyl-5-amino-4-hydroxyhexanamides and analogs as retroviral protease inhibitors

INVENTOR(S): Carr, Thomas Joseph; DeMarsh, Peter Lawrence; Penwick, Ashley Edward
 PATENT ASSIGNEE(S): SmithKline Beecham Corp., USA
 SOURCE: PCT Int. Appl., 146 pp.
 CODEN: PIXXD2

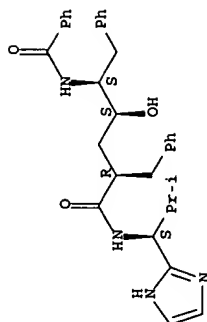
DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9302057	A1	19930204	WO 1992-US6047	19920717
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KR, LU, NL, NO, PL, RO, RU, SE, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
AU 9224129	A1	19930223	AU 1992-24129	19920717
CN 1071434	A	19930428	CN 1992-108761	19920717
ZA 9205360	A	19930614	ZA 1992-5360	19920717
EP 602069	A1	19940622	EP 1992-917238	19920717
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 07500577	T2	19950119	JP 1992-503016	19920717
ES 2068739	B1	19951101	ES 1993-107	19930121
A1 19950416				
US 1991-731563				19910717
US 1992-870975				19920420
WO 1992-US6047				19920717

OTHER SOURCE(S):
 AB R5CHRLCH(OH)CH2CH3R4 [I; R1, R3 = fluoroalkyl, cycloalk(enyl)(alkyl), aryl(alkyl), heterocyclyl(alkyl), etc.; R2 = H, OH, R4 = azolylamino, N-(azolylalkyl)carbamoxy; R5 = substituted amino] were prepared thus, MeZCHCHNH2 (R = imidazol-2-yl) (preparation given) was condensed with (2R, 4S, 5S)-PNCCHZCH(NHCO2CMe3)CH(OR6)CH2CH(COR7) (II; R6 = H, R7 = SiMe2CMe3, R7 = OH) to give, after deprotection, II (R6 = H, R7 = NHCHCHMe2, R = imidazol-2-yl). I had Ki of 1 nM to 5 μM for inhibition of HIV-1 protease.

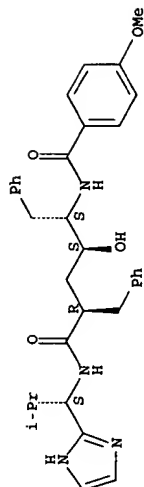
IT 149356-76-3P 149356-77-4P 149356-79-6P
 149356-81-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as retroviral protease inhibitor)
 RN 149356-76-3 CAPLUS
 CN Benzenehexanamide, 8-(benzoylamino)-γ-hydroxy-N-[1-(1H-imidazol-2-yl)-2-methylpropyl]-α-(phenylmethyl)-, [αR-[N(S*), αR*, γS*, δS*]] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



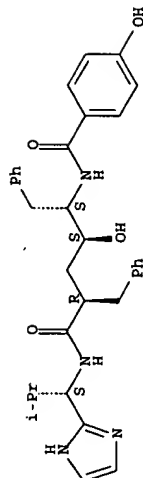
RN 149356-77-4 CAPLUS
 CN Benzenehexanamide, γ-hydroxy-N-[1-(1H-imidazol-2-yl)-2-methylpropyl]-8-[[4-methoxybenzoyl]amino]-α-(phenylmethyl)-, [αR-[N(S*), αR*, γS*, δS*]] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



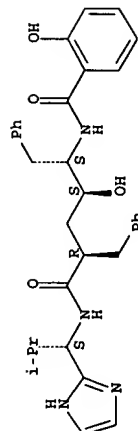
RN 149356-79-6 CAPLUS
 CN Benzenehexanamide, γ-hydroxy-8-[[4-(4-hydroxybenzoyl)amino]-N-[1-(1H-imidazol-2-yl)-2-methylpropyl]-α-(phenylmethyl)-, [αR-[N(S*), αR*, γS*, δS*]] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 149356-81-0 CAPLUS
 CN Benzenehexanamide, γ-hydroxy-8-[[2-(2-hydroxybenzoyl)amino]-N-[1-(1H-imidazol-2-yl)-2-methylpropyl]-α-(phenylmethyl)-, [αR-[N(S*), αR*, γS*, δS*]] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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SINCE FILE	TOTAL
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19.48	175.53

SINCE FILE	TOTAL
ENTRY	SESSION
-2.80	-2.80